(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau

(43) International Publication Date
21 July 2005 (21.07.2005)

PCT

(10) International Publication Number
WO 2005/066133 A3

(51) International Patent Classification[7]: C07D 223/22

(21) International Application Number:
PCT/IN2004/000322

(22) International Filing Date: 15 October 2004 (15.10.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
1108/MUM/2003 20 October 2003 (20.10.2003) IN

(71) Applicant *(for all designated States except US)*: **AMOLI ORGANICS LTD.** [IN/IN]; 407, Dalamal House, J-Bajaj Road, Nariman Point, Mumbai 400 021, Maharashtra (IN).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **PARENKY, Chandrashekar** [IN/IN]; A-11, Happy House, Plot No. 16, Sector-9A, Vashi, Navi Mumbai 400 703, Maharashtra (IN). **CHATURVEDI, Rohit** [IN/IN]; C-10, Saidham CHS, Premier Road, Kurla, Mumbai 400 070, Maharashtra (IN).

(74) Agent: **PARENKY, Chandrashekar**; Amoli Organics Ltd., 407, Dalamal House, J-Bajaj Road, Nariman Point, Mumbai 400 021, Maharashtra (IN).

(81) Designated States *(unless otherwise indicated, for every kind of national protection available)*: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States *(unless otherwise indicated, for every kind of regional protection available)*: ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

— *as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)*

— *as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)*

Published:

— *with international search report*

— *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*

(88) Date of publication of the international search report:
6 October 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: NOVEL PROCESS FOR PREPARATION OF 10-OXO-10, 11-DIHYDRO-5H-DIBENZ [b,f]AZEPINE-5-CARBOXAMIDE (OXCARBAZEPINE) VIA INTERMEDIATE, 10-METHOXY-5H-DIBENZ[b,f] AZEPINE-5-CARBONYLCHLORIDE

(57) Abstract: Novel process for preparation of 10-oxo-10, 11-dihydro-SH-dibenz[b,f] azepine-5-carboxamide (oxcarbazepine) via intermediate 10-methoxy-5H-dibenz [b,f] azepine -5 carbonyl, chloride; comprising the steps: a) Preparation of an intermediate 10-methoxy-5H-dibenz [b,f] azepine -5 carbonyl, chloride from 10-methoxyiminostillbene using bis (trichloromethyl) carbonate (BTC) with organic base such as aliphatic or aromatic tertiary amines in organic solvent b) Conversion of the intermediate to 10-methoxy-5H-dlbenz[b,f] azepine -5- carboxamide using ammonia in organic solvent c) Formation of oxcarbazepine from step(b) using Lewis acid in an organic solvent at a temperature between 25°C - 80°C, preferably at 50°C to 70°C d) Isolation of oxcarbazepine.

WO 2005/066133 A3